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Scientific and Technical Information Center

SEARCH REQUEST FORM

Requester's Full Name: MARK BERCH Examiner #: 59193 Date: 1/24/06
Art Unit: 1624 Phone Number: 2-0663 Serial Number: 10791910
Location (Bldg/Room#): 5C01 (Mailbox #): 5C18 Results Format Preferred (circle): PAPER DISK

To ensure an efficient and quality search, please attach a copy of the cover sheet, claims, and abstract or fill out the following:

Title of Invention: _____

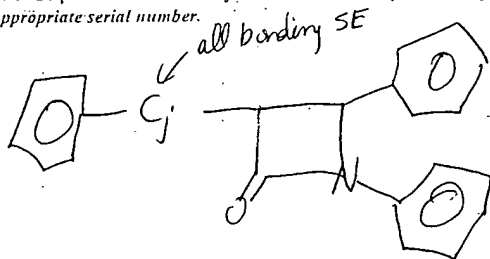
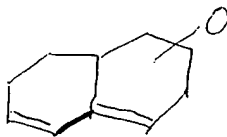
Inventors (please provide full names): _____

Earliest Priority Date: _____

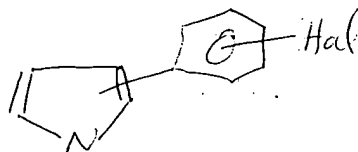
Search Topic:

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc., if known.

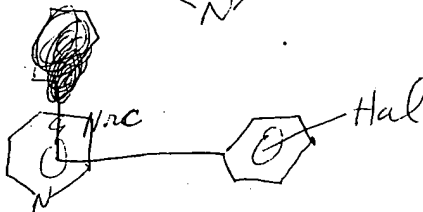
For Sequence Searches Only Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.

 $j = 0-20$ Compound must have ^{neg} fragments:

or



or



STAFF USE ONLY

Searcher: WLL

Searcher Phone #: _____

Searcher Location: _____

Date Searcher Picked Up: 2/3/06Date Completed: 2/3/06Searcher Prep & Review Time: 60Online Time: 50

Type of Search

____ NA Sequence (#)

____ AA Sequence (#)

1 Structure (#)

____ Bibliographic

____ Litigation

____ Fulltext

____ Other

Vendors and cost where applicable

382-23 STN _____ Dialog

____ Questel/Orbit _____ Lexis/Nexis

____ Westlaw _____ WWW/Internet

____ In-house sequence systems

____ Commercial _____ Oligomer _____ Score/Length
____ Interference _____ SPDI _____ Encode/Transl
____ Other (specify)

=> fil reg

FILE 'REGISTRY' ENTERED AT 14:23:13 ON 03 FEB 2006

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FILE 'HCAPLUS' ENTERED AT 13:43:49 ON 03 FEB 2006

L1 1 S US20040198700/PN
SEL RN

FILE 'REGISTRY' ENTERED AT 13:44:11 ON 03 FEB 2006

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L3 STR

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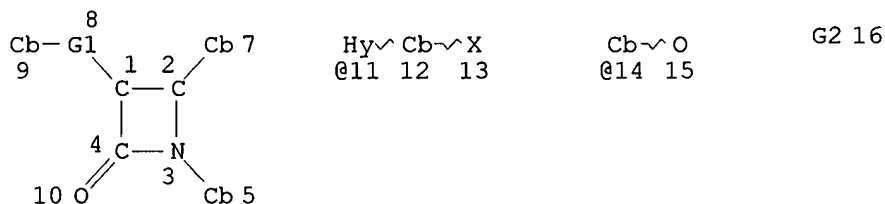
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L7 3 S L5

=> d que 17

L3 STR



REP G1=(0-20) C

VAR G2=11/14

NODE ATTRIBUTES:

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GGCAT IS PCY UNS AT 14

DEFAULT ECLEVEL IS LIMITED

ECOUNT IS M4-X5 C M1-X2 N AT 11

ECOUNT IS E6 C AT 12

ECOUNT IS E10 C AT 14

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 15

STEREO ATTRIBUTES: NONE

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L7 3 SEA FILE=HCAPLUS ABB=ON PLU=ON L5

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FILE 'HCAPLUS' ENTERED AT 14:23:24 ON 03 FEB 2006

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L7 ANSWER 1 OF 3 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:780695 HCAPLUS

DOCUMENT NUMBER: 141:277408

TITLE: Preparation of azetidinones for use in
pharmaceutical compns. for treatment of
vascular diseasesINVENTOR(S): Burnett, Duane A.; Clader, John W.; Vaccaro,
Wayne

PATENT ASSIGNEE(S): Schering Corporation, USA

SOURCE: PCT Int. Appl., 70 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

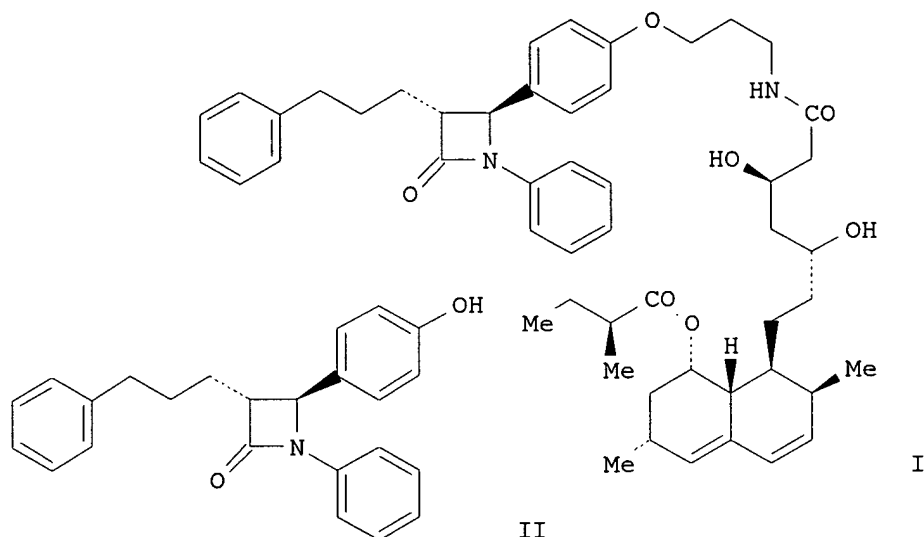
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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CA 2517571	AA	20040923	CA 2004-2517571	2004 0303
US 2004198700	A1	20041007	US 2004-791910	2004 0303
EP 1601668	A1	20051207	EP 2004-716953	2004 0303
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PRIORITY APPLN. INFO.:			US 2003-452809P	P 2003 0307
			WO 2004-US6546	W 2004 0303

OTHER SOURCE(S): MARPAT 141:277408

GI



AB This invention provides for pharmaceutical formulations and processes for preparing substituted azetidinone compds. of the general form G-L-M [G = azetidinone moiety; L = linking group, such as $-O(CH_2)_3NH-$ or $-OCO(CH_2)_2NH-$; M = pharmaceutically active moiety, such as lovastatin or simvastatin], for use in the treatment of vascular conditions such as atherosclerosis or hypercholesterolemia, and for treating Alzheimer's disease, diabetes, obesity, stroke, demyelination and for lowering plasma levels of sterols, stanols and/or cholesterol and for regulating levels of amyloid β peptides. Thus, azetidinone I was prepared via a multistep synthetic sequence starting from the corresponding phenolic azetidinone II, 3-benzyloxy-1-propanol and lovastatin. The prepared azetidinones were evaluated for hypercholesterolemic activity using Golden Syrian hamster as an in vivo model.

IT 760972-15-4P 760972-16-5P 760972-17-6P

760972-18-7P 760972-19-8P 760972-20-1P

760972-21-2P 760972-22-3P 760972-23-4P

760972-24-5P 760972-25-6P 760972-26-7P

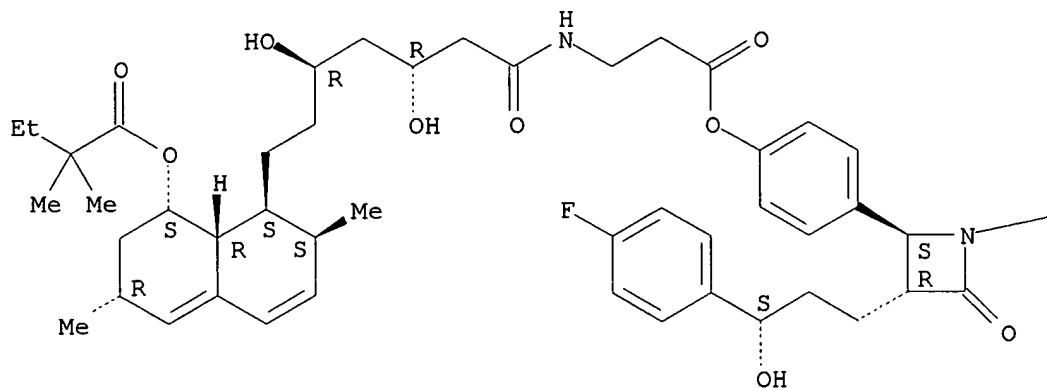
(claimed compound; preparation of azetidinones for use in pharmaceutical compns. for treatment of vascular diseases)

RN 760972-15-4 HCAPLUS

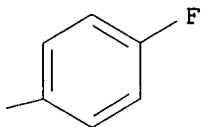
CN β -Alanine, N-[(3R,5R)-7-[(1S,2S,6R,8S,8aR)-8-(2,2-dimethyl-1-oxobutoxy)-1,2,6,7,8,8a-hexahydro-2,6-dimethyl-1-naphthalenyl]-3,5-dihydroxy-1-oxoheptyl]-, 4-[(2S,3R)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidiny]phenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

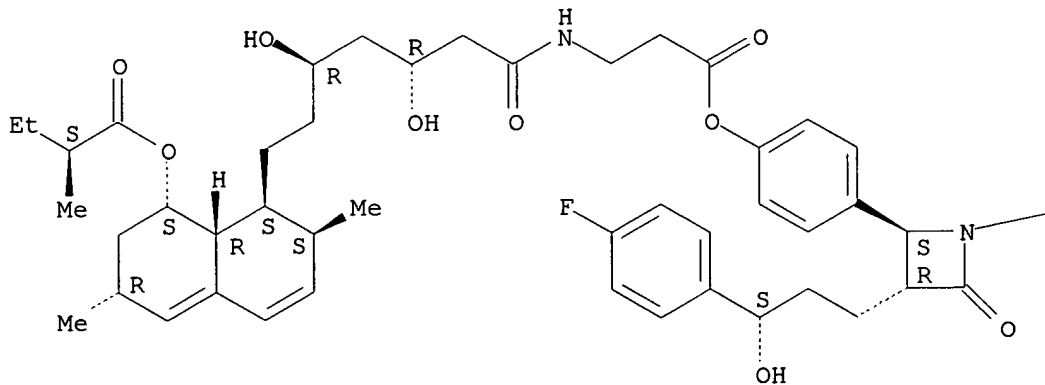


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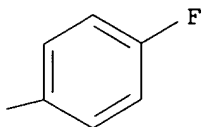
CN β -Alanine, N-[(3R,5R)-7-[(1S,2S,6R,8S,8aR)-1,2,6,7,8,8a-hexahydro-2,6-dimethyl-8-[(2S)-2-methyl-1-oxobutoxy]-1-naphthalenyl]-3,5-dihydroxy-1-oxoheptyl]-, 4-[(2S,3R)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidiny]phenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

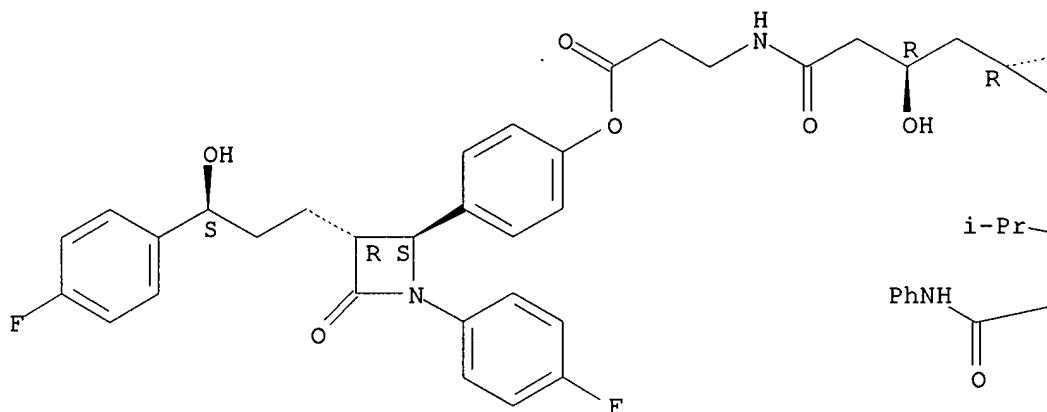


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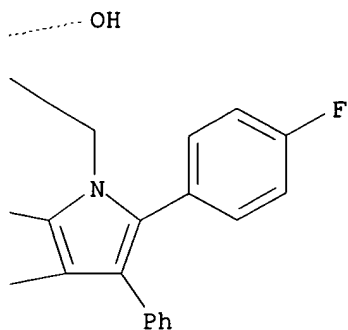
CN β-Alanine, N-[(3R,5R)-7-[2-(4-fluorophenyl)-5-(1-methylethyl)-3-phenyl-4-[(phenylamino)carbonyl]-1H-pyrrol-1-yl]-3,5-dihydroxy-1-oxoheptyl]-, 4-[(2S,3R)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

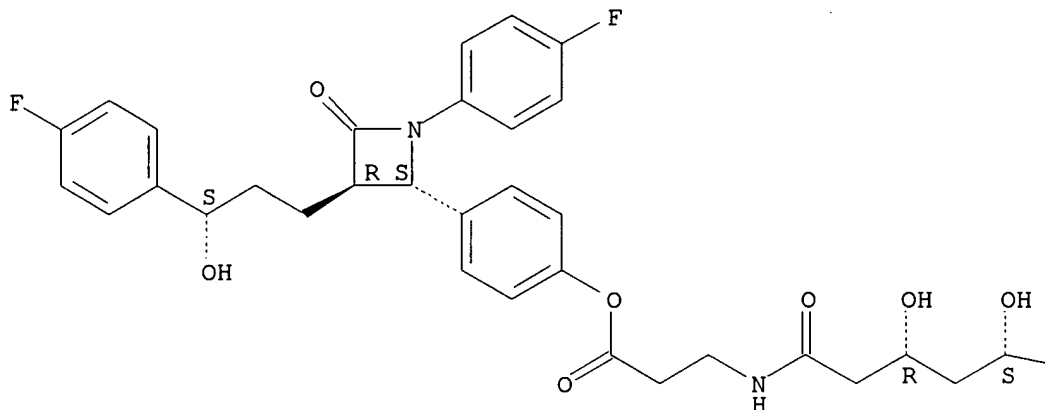


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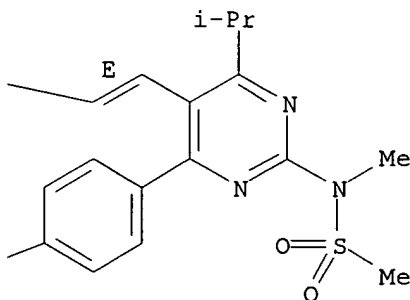
Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



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PAGE 1-B

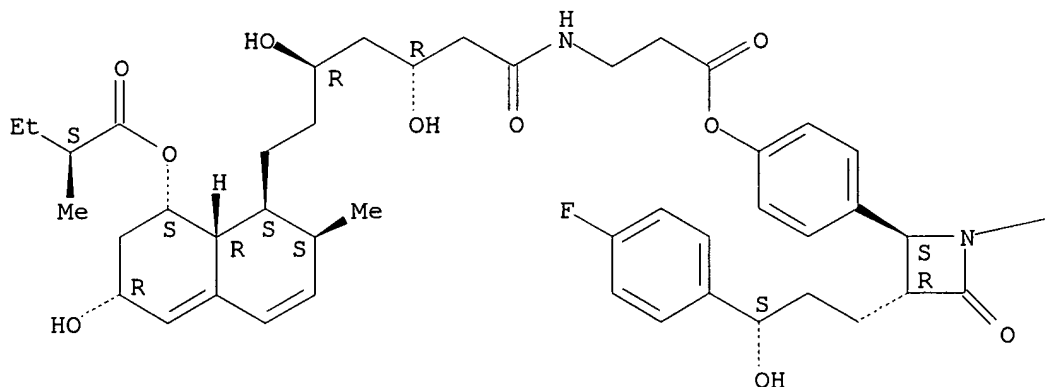


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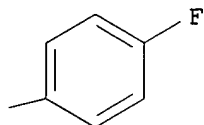
CN β -Alanine, N-[(3R,5R)-7-[(1S,2S,6R,8S,8aR)-1,2,6,7,8,8a-hexahydro-6-hydroxy-2-methyl-8-[(2S)-2-methyl-1-oxobutoxy]-1-naphthalenyl]-3,5-dihydroxy-1-oxoheptyl]-, 4-[(2S,3R)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidiny]phenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



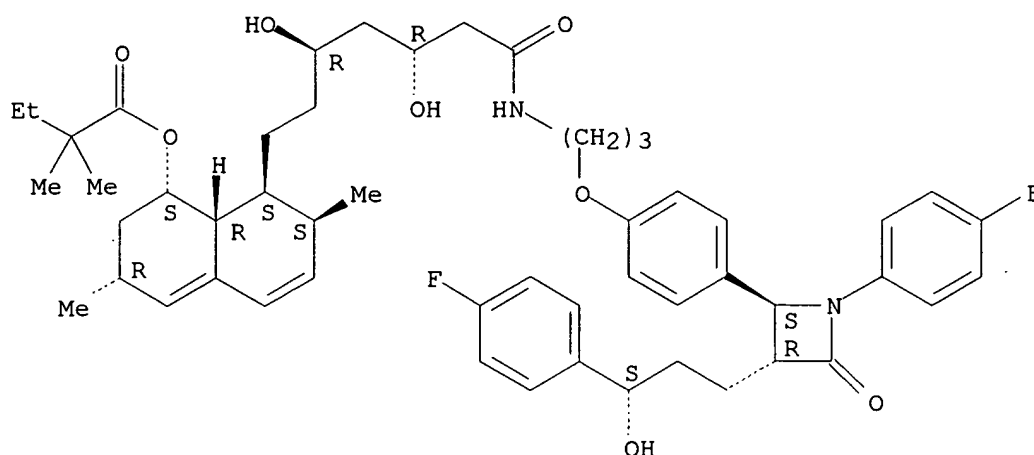
PAGE 1-B



RN 760972-20-1 HCAPLUS

CN Butanoic acid, 2,2-dimethyl-, (1S,3R,7S,8S,8aR)-8-[(3R,5R)-7-[[3-[4-[(2S,3R)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidiny]phenoxy]propyl]amino]-3,5-dihydroxy-7-oxoheptyl]-1,2,3,7,8,8a-hexahydro-3,7-dimethyl-1-naphthalenyl ester (9CI) (CA INDEX NAME)

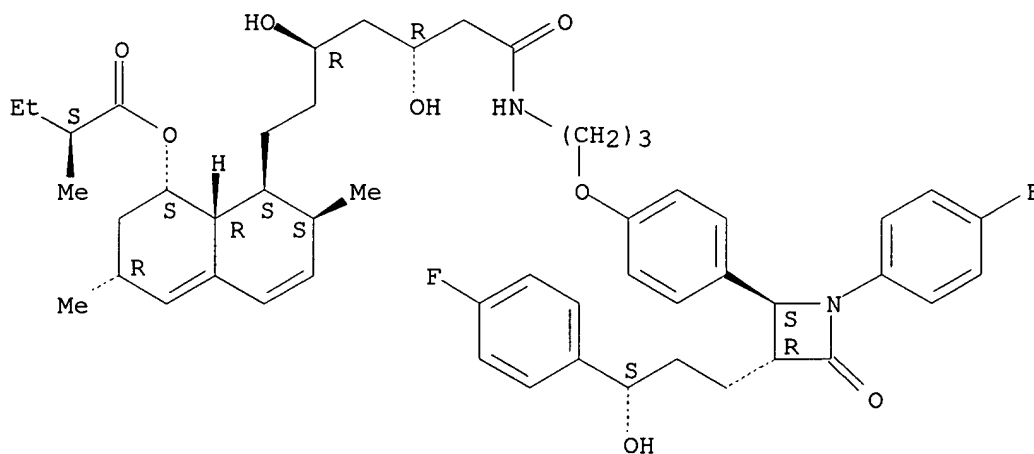
Absolute stereochemistry.



RN 760972-21-2 HCAPLUS

CN Butanoic acid, 2-methyl-, (1S,3R,7S,8S,8aR)-8-[(3R,5R)-7-[[3-[4-[(2S,3R)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenoxy]propyl]amino]-3,5-dihydroxy-7-oxoheptyl]-1,2,3,7,8,8a-hexahydro-3,7-dimethyl-1-naphthalenyl ester, (2S)- (9CI) (CA INDEX NAME)

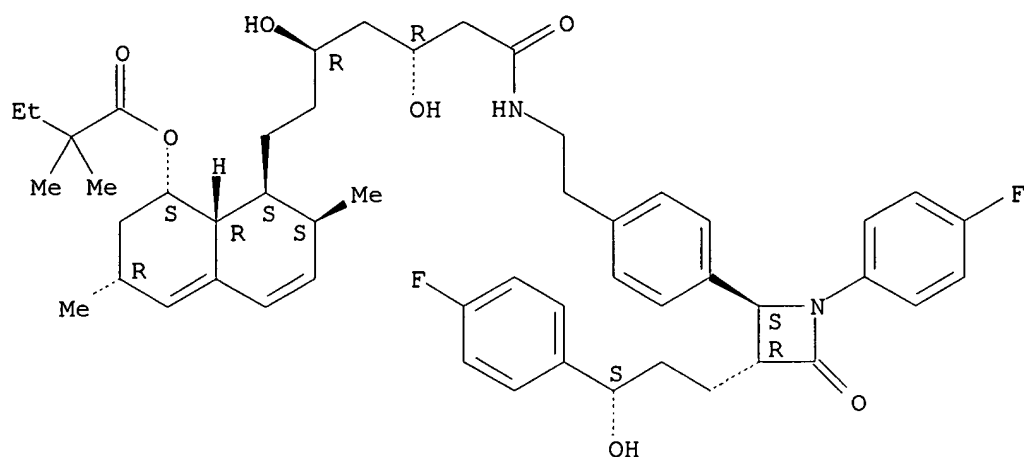
Absolute stereochemistry.



RN 760972-22-3 HCAPLUS

CN Butanoic acid, 2,2-dimethyl-, (1S,3R,7S,8S,8aR)-8-[(3R,5R)-7-[[2-[4-[(2S,3R)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenyl]ethyl]amino]-3,5-dihydroxy-7-oxoheptyl]-1,2,3,7,8,8a-hexahydro-3,7-dimethyl-1-naphthalenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

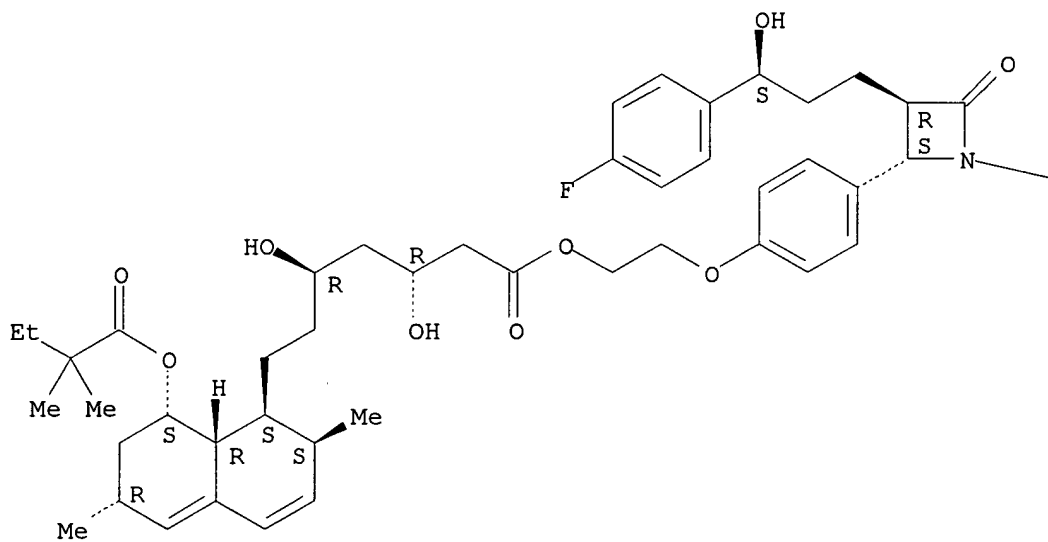


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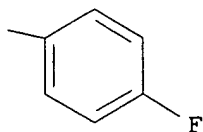
CN 1-Naphthaleneheptanoic acid, 8-(2,2-dimethyl-1-oxobutoxy)-
1,2,6,7,8,8a-hexahydro- β , δ -dihydroxy-2,6-dimethyl-,
2-[4-[(2S,3R)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-
hydroxypropyl]-4-oxo-2-azetidinyl]phenoxy]ethyl ester,
(β R, δ R,1S,2S,6R,8S,8aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



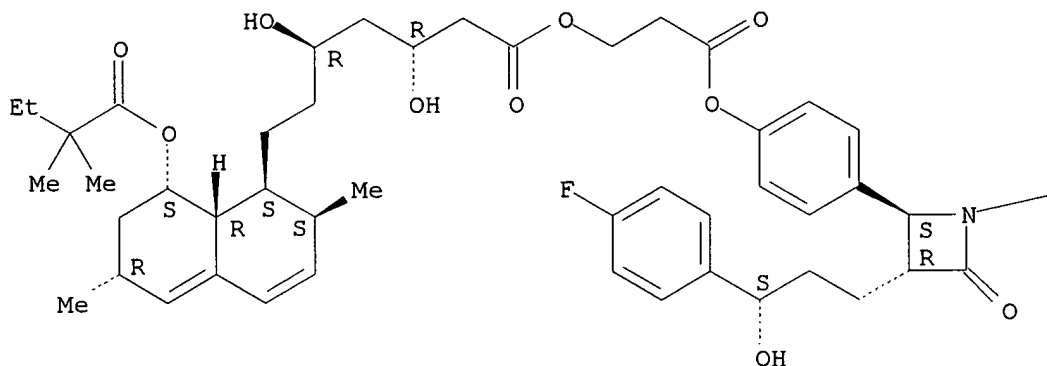
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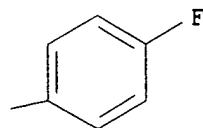
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 CN 1-Naphthaleneheptanoic acid, 8-(2,2-dimethyl-1-oxobutoxy)-
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 3-[4-[(2S,3R)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-
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 (β R, δ R,1S,2S,6R,8S,8aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



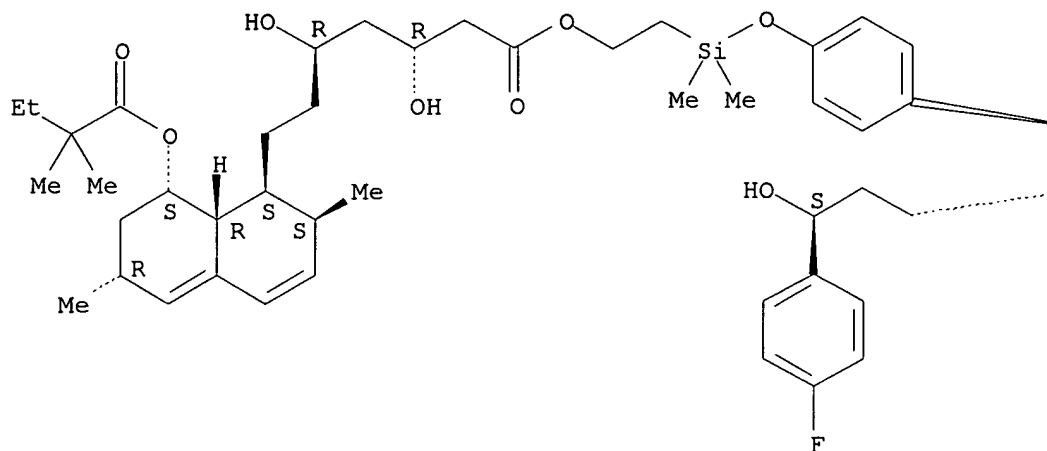
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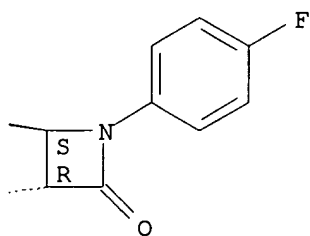
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Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

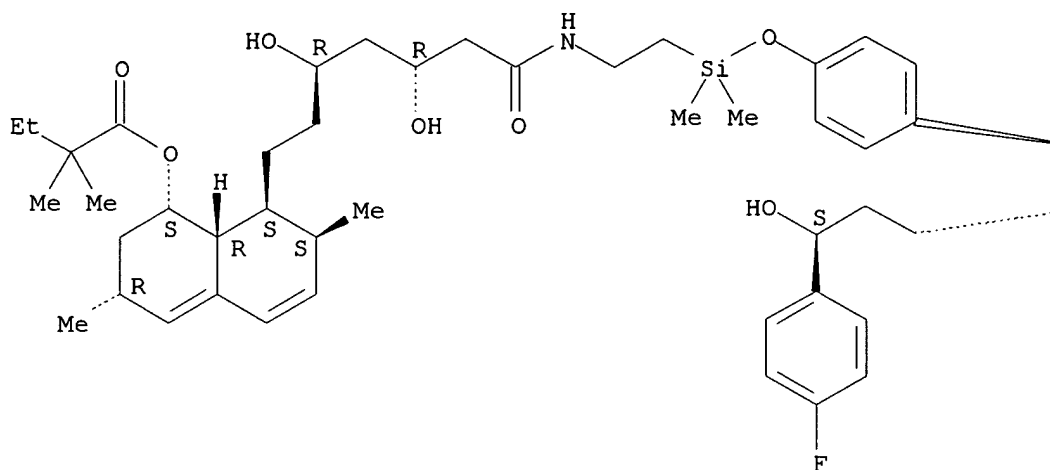


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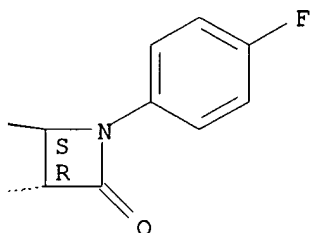
CN Butanoic acid, 2,2-dimethyl-, (1S,3R,7S,8S,8aR)-8-[(3R,5R)-7-[[2-[[4-[(2S,3R)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenoxy]dimethylsilyl]ethyl]amino]-3,5-dihydroxy-7-oxoheptyl]-1,2,3,7,8,8a-hexahydro-3,7-dimethyl-1-naphthalenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



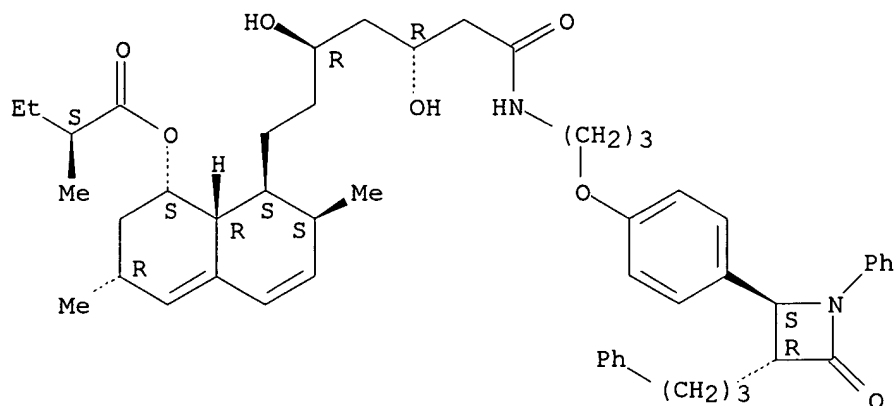
IT 760972-12-1P

(preparation of azetidinones for use in pharmaceutical compns. for treatment of vascular diseases)

RN 760972-12-1 HCAPLUS

CN Butanoic acid, 2-methyl-, (1S,3R,7S,8S,8aR)-8-[(3R,5R)-3,5-dihydroxy-7-oxo-7-[[3-[4-[(2S,3R)-4-oxo-1-phenyl-3-(3-phenylpropyl)-2-azetidinyl]phenoxy]propyl]amino]heptyl]-1,2,3,7,8,8a-hexahydro-3,7-dimethyl-1-naphthalenyl ester, (2S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



IC ICM C07D403-12
 ICS C07D205-08; A61K031-397; A61P003-06
 CC 26-5 (Biomolecules and Their Synthetic Analogs)
 Section cross-reference(s): 1, 63
 IT **760972-15-4P 760972-16-5P 760972-17-6P**
760972-18-7P 760972-19-8P 760972-20-1P
760972-21-2P 760972-22-3P 760972-23-4P
760972-24-5P 760972-25-6P 760972-26-7P
 (claimed compound; preparation of azetidinones for use in
 pharmaceutical compns. for treatment of vascular diseases)
 IT **760972-12-1P**
 (preparation of azetidinones for use in pharmaceutical compns. for
 treatment of vascular diseases)
 REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE
 FOR THIS RECORD. ALL CITATIONS AVAILABLE
 IN THE RE FORMAT

L7 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2004:759822 HCAPLUS
 DOCUMENT NUMBER: 141:260450
 TITLE: Processes for preparation of substituted
 azetidinone compounds, formulations containing
 them and uses thereof
 INVENTOR(S): Burnett, Duane A.; Clader, John W.
 PATENT ASSIGNEE(S): Schering Corporation, USA
 SOURCE: U.S. Pat. Appl. Publ., 30 pp.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004180861	A1	20040916	US 2004-792346	2004 0303
CA 2517572	AA	20040923	CA 2004-2517572	2004 0303
WO 2004081003	A1	20040923	WO 2004-US6428	

2004
0303

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PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR,
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0303

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PRIORITY APPLN. INFO.:

US 2003-452725P

P

2003
0307

WO 2004-US6428

W

2004
0303

OTHER SOURCE(S): MARPAT 141:260450
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT
*

AB The present invention provides substituted azetidinone compds. I
[X1 = Xm; X2 = Cq; X3 = Yn; X4 = Cr; X5 = Zp; X, Y, Z = CH2,
CH-alkyl, C(Alkyl)2; Q1, Q2 = H, (C0-30-alkylene)-G, OR6, O2CR6,
OCO2R9, O2CNR6R7, L-M; Q3 = 1 - 5 substituents, selected from
alkyl, alkenyl, alkynyl, (C0-30-alkylene)-G, (C0-10-alkylene)-OR6,
(C0-10-alkylene)-C(:O)R6, (C0-10-alkylene)-CO2R6,
(C0-10-alkylene)O2CR6, CH:CHCOR6, CH:CHCO2R6, C.tplbond.CCO2R6,
C.tplbond.CC(:O)R6, etc.; Q4 = ; Q5 = ; G = sugar, oligo sugar,
amino sugar, amino acid, oligopeptide (2 - 9 residues),
trialkylammoniumalkyl, SO3H; L = OC(:O)C6H4C(:O)-4,
OCO(:O)(CH2)x1C(:O), (CH2)x2C(:O), O(CH2)x3C(:O),
OSiMe2(CH2)x4C(:O), OSiMe2(CH2)x5OC(:O), etc.; M = statin linked
through O (atorvastatin, simvastatin); R2, R3 = H, alkyl, aryl;
R6, R7, R8 = H, alkyl, aryl, aralkyl; R9 = alkyl, aryl, aralkyl;
R1 0 = H, alkyl; q = 0, 1; r = 0, 1; m, n, p = 0 - 4 (with the
proviso that, at least one of q and r = 1, and the sum of m + n +
p + q + r = 1 - 6; with the proviso that when p = 0, r = 1 and the
sum of m + q + n = 1 - 5); x1 - x11 = 1 - 10; with the proviso
that at least one of Q1 - Q5 = L-M, mono-, di-, tri-, tetrasugar,
sugar acid, amino sugar, amino acid, etc.], formulations and
processes for preparing the same which can be useful for treating
vascular conditions such as atherosclerosis or

hypercholesterolemia, diabetes, obesity, stroke, demyelination and lowering plasma levels of sterols and/or stanols in a subject. Thus, azetidinone conjugate II can be prepared from ezetimibe acetate (III) via acylation with glutaric anhydride and esterification with simvastatin (IV).

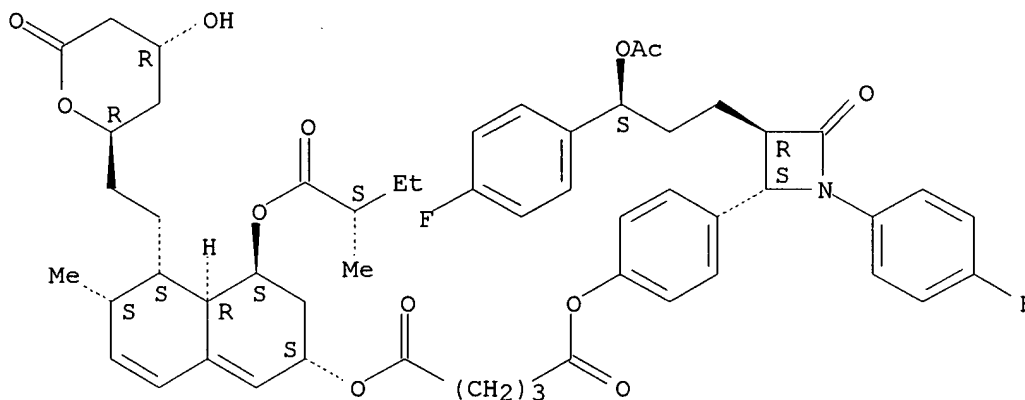
IT 756821-84-8P 756821-86-0P 756821-90-6P
756821-92-8P 756821-93-9P 756821-94-0P
756821-95-1P 756821-96-2P

(preparation of substituted azetidinone compds. useful for treating vascular conditions)

RN 756821-84-8 HCAPLUS

CN Pentanedioic acid, 4-[(2S,3R)-3-[(3S)-3-(acetyloxy)-3-(4-fluorophenyl)propyl]-1-(4-fluorophenyl)-4-oxo-2-azetidinyl]phenyl (2S,4S,4aR,5S,6S)-2,3,4,4a,5,6-hexahydro-6-methyl-4-[(2S)-2-methyl-1-oxobutoxy]-5-[2-[(2R,4R)-tetrahydro-4-hydroxy-6-oxo-2H-pyran-2-yl]ethyl]-2-naphthalenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

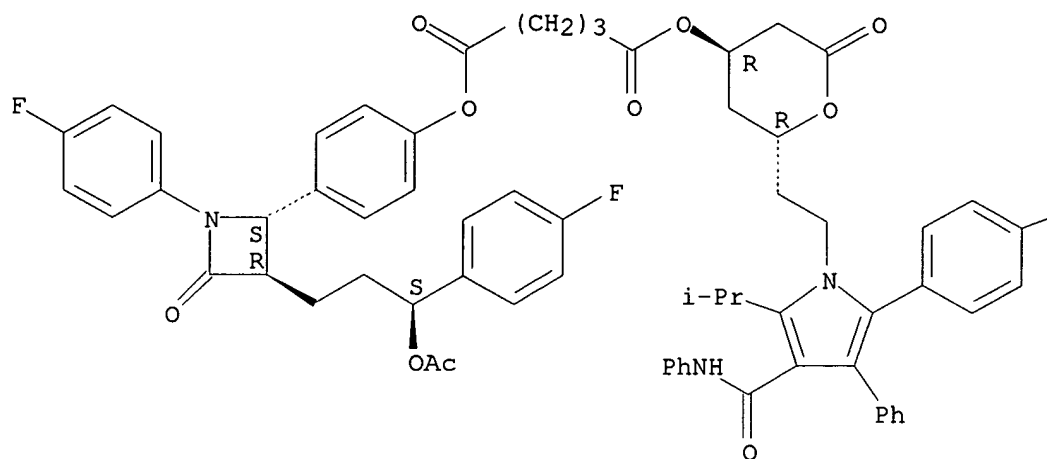


RN 756821-86-0 HCAPLUS

CN Pentanedioic acid, 4-[(2S,3R)-3-[(3S)-3-(acetyloxy)-3-(4-fluorophenyl)propyl]-1-(4-fluorophenyl)-4-oxo-2-azetidinyl]phenyl (2R,4R)-2-[2-[2-(4-fluorophenyl)-5-(1-methylethyl)-3-phenyl-4-[(phenylamino)carbonyl]-1H-pyrrol-1-yl]ethyl]tetrahydro-6-oxo-2H-pyran-4-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



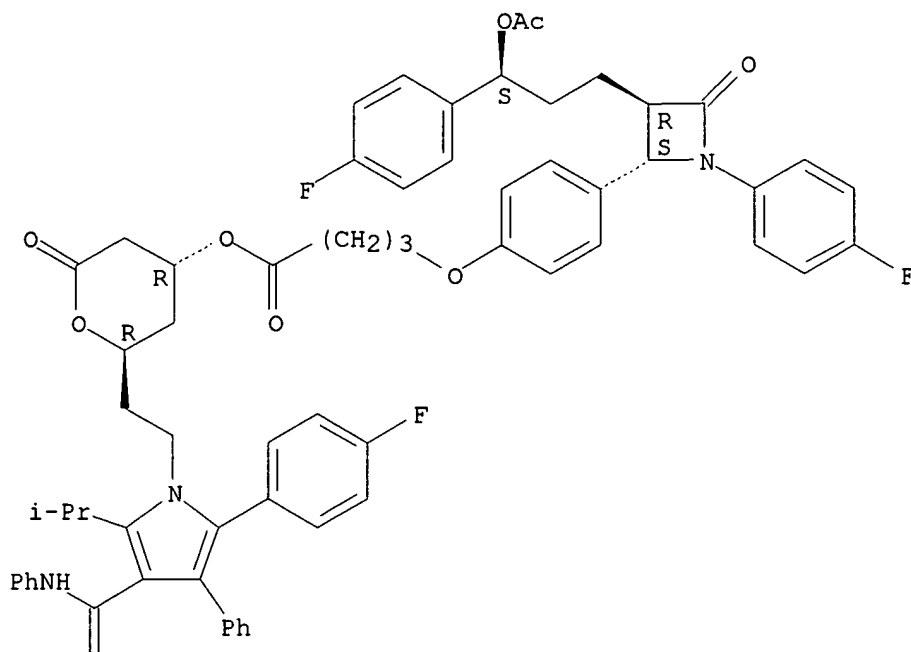
PAGE 1-B

F

RN 756821-90-6 HCAPLUS
 CN Butanoic acid, 4-[4-[(2S,3R)-3-[(3S)-3-(acetyloxy)-3-(4-fluorophenyl)propyl]-1-(4-fluorophenyl)-4-oxo-2-azetidiny]phenoxy]-, (2R,4R)-2-[2-[2-(4-fluorophenyl)-5-(1-methylethyl)-3-phenyl-4-[(phenylamino)carbonyl]-1H-pyrrol-1-yl]ethyl]tetrahydro-6-oxo-2H-pyran-4-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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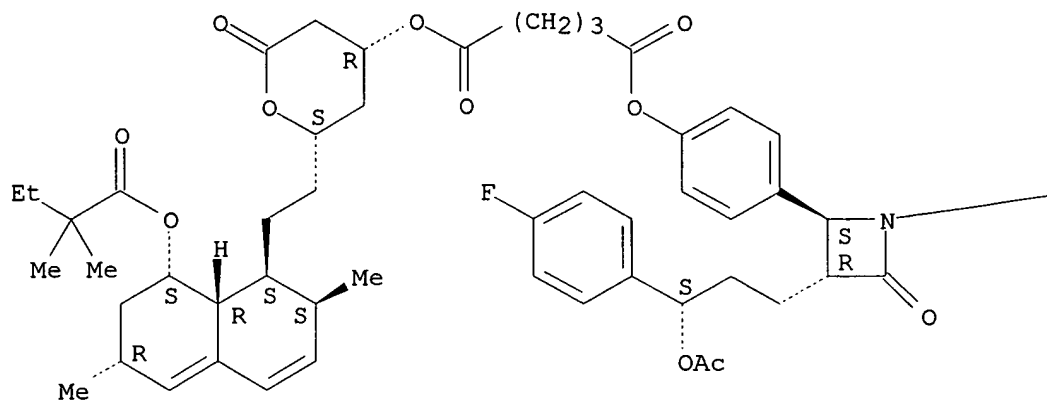
PAGE 2-A



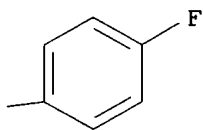
RN 756821-92-8 HCAPLUS
 CN Pentanedioic acid, 4-[(2S,3R)-3-[(3S)-3-(acetyloxy)-3-(4-fluorophenyl)propyl]-1-(4-fluorophenyl)-4-oxo-2-azetidinyl]phenyl (2S,4R)-2-[2-[(1S,2S,6R,8S,8aR)-8-(2,2-dimethyl-1-oxobutoxy)-1,2,6,7,8,8a-hexahydro-2,6-dimethyl-1-naphthalenyl]ethyl]tetrahydro-6-oxo-2H-pyran-4-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

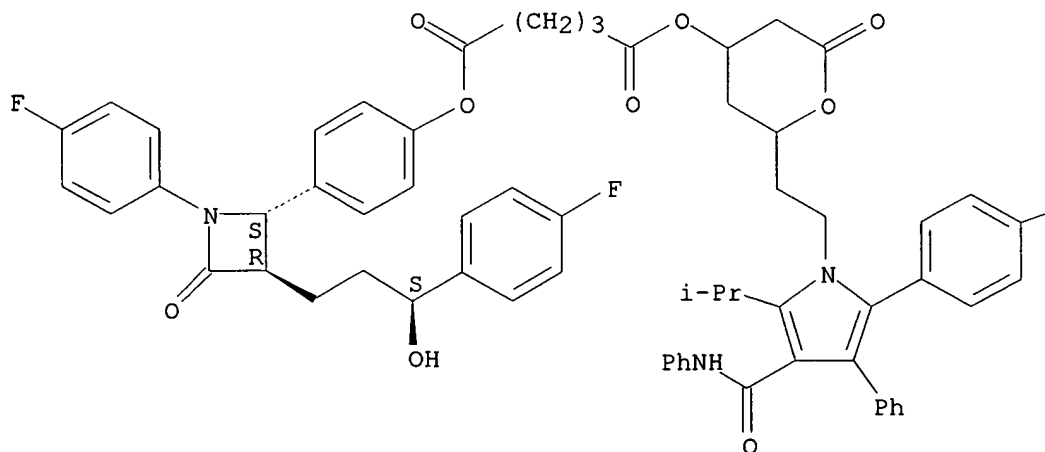


RN 756821-93-9 HCAPLUS

CN Pentanedioic acid, 4-[(2S,3R)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenyl
 2-[2-[2-(4-fluorophenyl)-5-(1-methylethyl)-3-phenyl-4-[(phenylamino)carbonyl]-1H-pyrrol-1-yl]ethyl]tetrahydro-6-oxo-2H-pyran-4-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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PAGE 1-B

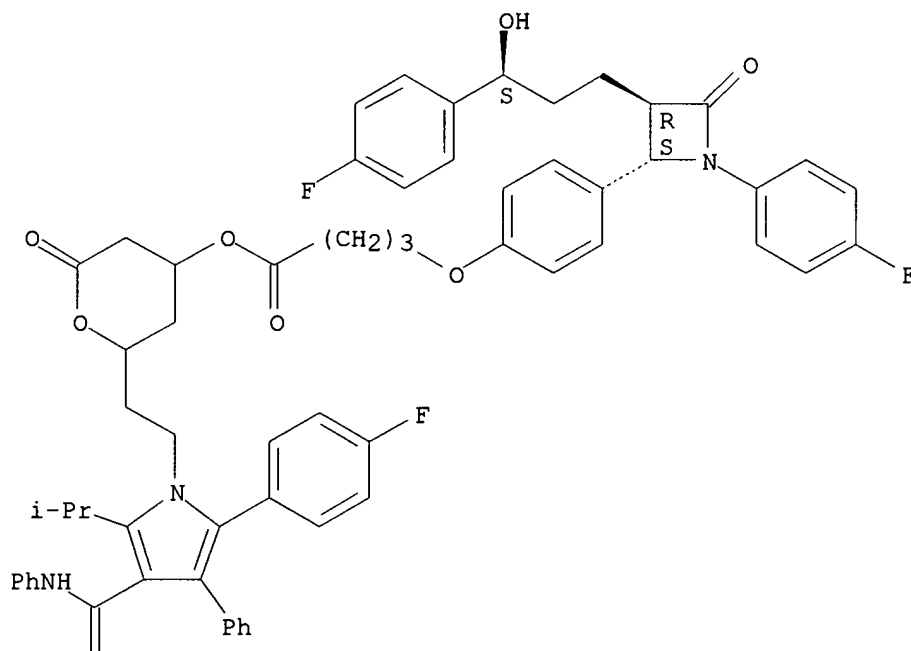
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RN 756821-94-0 HCAPLUS

CN Butanoic acid, 4-[4-[(2S,3R)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenoxy]-, 2-[2-[2-(4-fluorophenyl)-5-(1-methylethyl)-3-phenyl-4-[(phenylamino)carbonyl]-1H-pyrrol-1-yl]ethyl]tetrahydro-6-oxo-2H-pyran-4-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

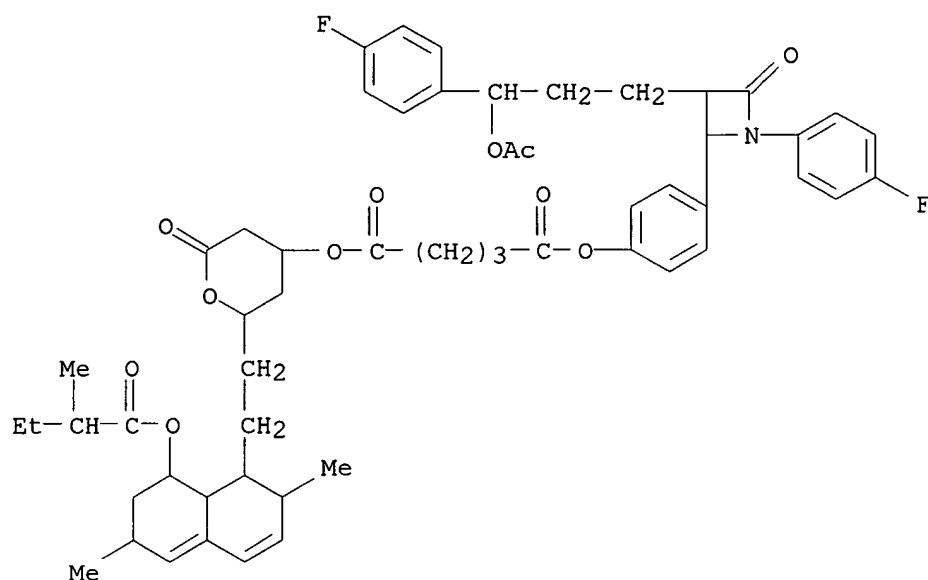


PAGE 2-A

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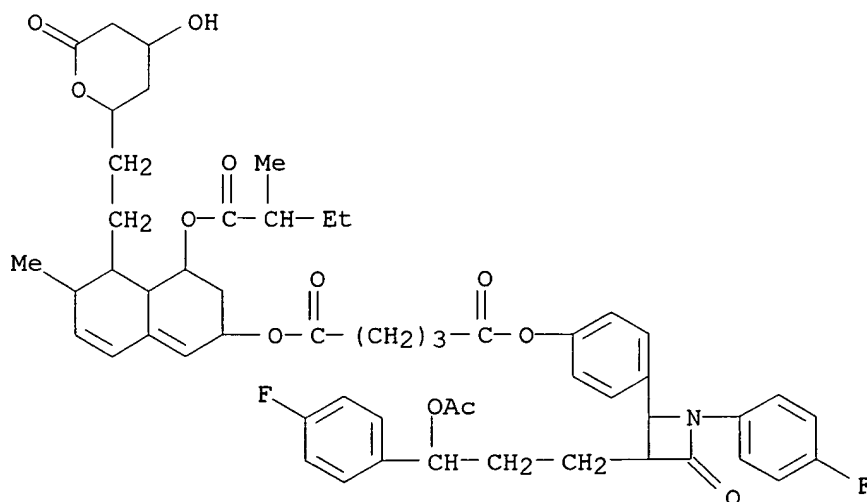
RN 756821-95-1 HCAPLUS

CN Pentanedioic acid, 4-[3-[3-(acetyloxy)-3-(4-fluorophenyl)propyl]-1-(4-fluorophenyl)-4-oxo-2-azetidiny]phenyl 2-[2-[1,2,6,7,8,8a-hexahydro-2,6-dimethyl-8-(2-methyl-1-oxobutoxy)-1-naphthalenyl]ethyl]tetrahydro-6-oxo-2H-pyran-4-yl ester (9CI) (CA INDEX NAME)



RN 756821-96-2 HCAPLUS

CN Pentanedioic acid, 4-[3-[3-(acetyloxy)-3-(4-fluorophenyl)propyl]-1-(4-fluorophenyl)-4-oxo-2-azetidiny]phenyl 2,3,4,4a,5,6-hexahydro-6-methyl-4-(2-methyl-1-oxobutoxy)-5-[2-(tetrahydro-4-hydroxy-6-oxo-2H-pyran-2-yl)ethyl]-2-naphthalenyl ester (9CI) (CA INDEX NAME)



IC ICM A61K031-675

ICS A61K031-655; A61K031-397

INCL 514079000; 514151000; 514210020; 540200000

CC 26-6 (Biomolecules and Their Synthetic Analogs)
 Section cross-reference(s): 1, 25, 33, 34, 63
 IT 756821-84-8P 756821-86-0P 756821-90-6P
 756821-92-8P 756821-93-9P 756821-94-0P
 756821-95-1P 756821-96-2P
 (preparation of substituted azetidinone compds. useful for treating
 vascular conditions)

L7 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:759821 HCAPLUS

DOCUMENT NUMBER: 141:254573

TITLE: Substituted azetidinone compounds, processes
 for preparing the same, formulations and uses
 thereof

INVENTOR(S): Burnett, Duane A.; Clader, John W.

PATENT ASSIGNEE(S): Schering Corporation, USA

SOURCE: U.S. Pat. Appl. Publ., 35 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

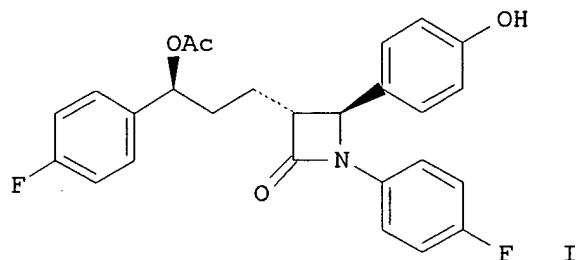
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004180860	A1	20040916	US 2004-791979	2004 0303
CA 2517573	AA	20040923	CA 2004-2517573	2004 0303
WO 2004081004	A1	20040923	WO 2004-US6555	2004 0303
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG EP 1606287 A1 20051221 EP 2004-716968 2004 0303 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK PRIORITY APPLN. INFO.: US 2003-452722P P 2003 0307 WO 2004-US6555 W 2004				

0303

OTHER SOURCE(S): MARPAT 141:254573
GI



AB This invention provides for pharmaceutical formulations and processes for preparing substituted azetidinone compds. of the general form G-L-M [G = azetidinone moiety, such as I; L = linking group, such as -OCO(CH₂)₂NH-; M = pharmaceutically active moiety, such as simvastatin], which can be useful for treating vascular conditions such as atherosclerosis or hypercholesterolemia, diabetes, obesity, stroke, demyelination, lowering plasma levels of sterols, stanols and/or cholesterol and regulating levels of amyloid β peptides or treating Alzheimer's disease. A hypothetical in vivo evaluation of hypercholesterolemic activity using Golden Syrian hamster was presented.

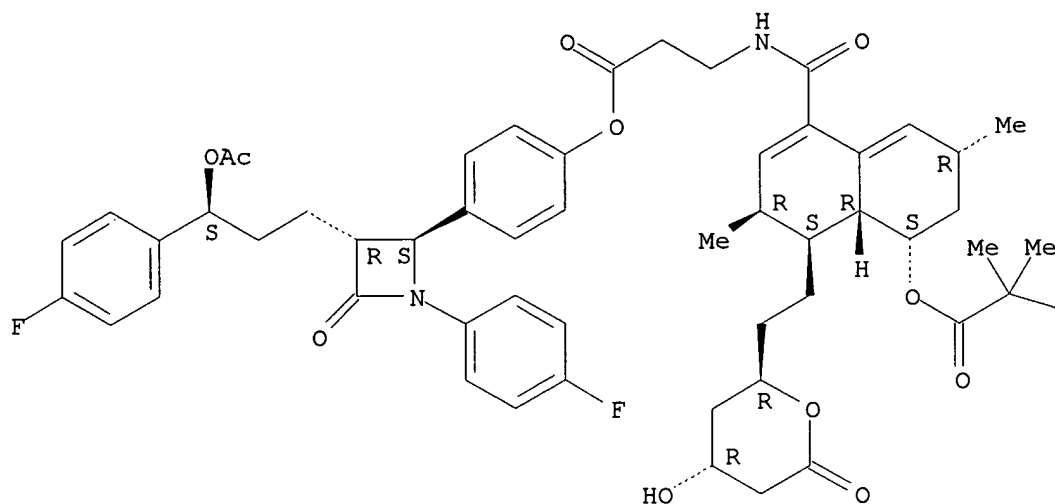
IT **756879-00-2DP**, analogs
(azetidinones for use in pharmaceutical compns. for treatment of vascular diseases)

RN 756879-00-2 HCAPLUS

CN β -Alanine, N-[[(3R,4S,4aR,5S,7R)-5-(2,2-dimethyl-1-oxobutoxy)-3,4,4a,5,6,7-hexahydro-3,7-dimethyl-4-[2-[(2R,4R)-tetrahydro-4-hydroxy-6-oxo-2H-pyran-2-yl]ethyl]-1-naphthalenyl]carbonyl]-, 4-[(2S,3R)-3-[(3S)-3-(acetyloxy)-3-(4-fluorophenyl)propyl]-1-(4-fluorophenyl)-4-oxo-2-azetidiny]phenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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Et

IC ICM A61K031-675
 ICS A61K031-655; A61K031-397
 INCL 514079000; 514210020; 540200000; 514151000
 CC 1-8 (Pharmacology)
 Section cross-reference(s): 26, 63
 IT **756879-00-2DP**, analogs
 (azetidinones for use in pharmaceutical compns. for treatment
 of vascular diseases)